WHAT IS CLAIMED IS:

1. A compound of the formula:

$$R^3—L—L'—R^1$$

wherein

R^1 is

1) H,
2) $C_{1\text{-}10}$ alkyl,
3) $C_{2\text{-}10}$ alkenyl,
4) $C_{2\text{-}10}$ alkynyl,
5) Cy,
6) Cy-$C_{1\text{-}10}$ alkyl,
7) Cy-$C_{1\text{-}10}$ alkenyl, or
8) Cy-$C_{1\text{-}10}$ alkynyl;

L' is a hydrocarbon linker moiety having 1-5 carbon chain atoms and is

(i) optionally interrupted by, or terminally attached to, one or more of the following groups:

9) -C(O)-,
10) -O-C(O)-,
11) -C(O)-O-,
12) -C(O)-NR^c-,
13) -NR^c-C(O)-,
14) -NR^c-C(O)-NR^d-,
15) -NR^c-C(O)-O-,
16) -O-C(O)-NR^c-,
17) -$S(O)_m$-,
18) -SO_2-NR^c-,
19) -NR^c-SO_2-,
20) -NR^c-C(NR^m)-,
21) -O-,
22) -NR^c-, or

23) -Cy; or

(ii) optionally substituted with one or more substituents independently selected from R^b;

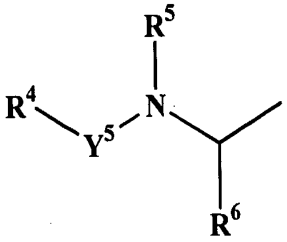
L is a hydrocarbon linker moiety having 1-14 carbon chain atoms and is

(i) optionally interrupted by, or terminally attached to, one or more of the following groups:

1) -C(O)-,
2) -O-C(O)-,
3) -C(O)-O-,
4) -C(O)-NR^c-,
5) -NR^c-C(O)-,
6) -NR^c-C(O)-NR^d-,
7) -NR^c-C(O)-O-,
8) -O-C(O)-NR^c-,
9) -$S(O)_m$-,
10) -SO_2-NR^c-,
11) -NR^c-SO_2-,
12) -O-,
13) -NR^c-, or
14) Cy; or

(ii) optionally substituted with one or more substituents independently selected from R^b; and

$\mathbf{R^3}$ is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, or oxocarbocyclyl-fused aryl; or a moiety of the following formula:



wherein:

Y^5 is selected from the group consisting of -CO-, -O-CO-, -SO_2- and -PO_2-;

each of **R**^{4} and **R**^{6}, independently, is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;

each of said Cy is cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl;

each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a; and

each of said cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

R^a is

1) Cy,
2) -OR^c,
3) -NO_2,
4) -halogen,

5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,
18) $-OC(O)R^c$,
19) -CN,
20) $-NR^cC(O)R^d$,
21) $-OC(O)NR^cR^d$,
22) $-NR^cC(O)OR^d$,
23) $-NR^cC(O)NR^dR^e$,
24) $-CR^c(NOR^d)$,
25) $-CF_3$,
26) $-OCF_3$, or
27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or

6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) -COO-C_{1-4} alkyl,
5) $-P(O)(OH)_2$,
6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl)$_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),
10) $-SO_2$-C_{1-4} alkyl,
11) $-CO-NH_2$,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl)$_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,

19) CF_3, or

20) aryloxy;

R^m is

1) H,

2) C_{1-10} alkyl,

3) C_{2-10} alkenyl,

4) C_{2-10} alkynyl,

5) Cy,

6) Cy-C_{1-10} alkyl;

7) C_{1-10} acyl,

8) cyano,

9) C_{1-10} alkyl-sulfonyl, or

10) C_{1-10} alkoxy; and

R^j is

1) H,

2) C_{1-10} alkyl,

3) C_{2-10} alkenyl,

4) C_{2-10} alkynyl,

5) cyano,

6) aryl,

7) aryl-C_{1-10} alkyl,

8) heteroaryl,

9) heteroaryl-C_{1-10} alkyl, or

10) -SO_2R^k,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or

(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or

(iii) R^1 must contain only one Cy group; and

(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a -SO_2-optionally substituted phenyl group;

or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1, wherein R^1 is Z^1-L^a-Z^2-,

in which

Z^1 is cycloalkyl, cycloalkyl-C_{1-10} alkyl, cycloalkenyl, cycloalkenyl-C_{1-10} alkyl, aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, or heteroaryl-C_{1-10} alkyl;

L^a is -C(O)-, -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -NR^c-C(O)-NR^d-, -NR^c-C(O)-O-, -O-C(O)-NR^c-, -$S(O)_m$-, -SO_2-NR^c-, -NR^c-SO_2-, -O-, -NR^c-, or a bond; m, R^c and R^d having been defined in claim 1; and

Z^2 is cycloalkyl, cycloalkyl-C_{1-10} alkyl, cycloalkenyl, cycloalkenyl-C_{1-10} alkyl, aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, heteroaryl-C_{1-10} alkyl or a bond.

3. The compound of claim 2, wherein

Z^1 is cycloalkyl, cycloalkyl-C_{1-10} alkyl, aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, or heteroaryl-C_{1-10} alkyl;

L^a is -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -SO_2-, -SO_2-NR^c-, -NR^c-SO_2-, -O-, -NR^c-, or a bond; and

Z^2 is aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, or a bond.

4. The compound of claim 3, wherein

Z^1 is aryl, aryl-C_{1-5} alkyl, heterocyclyl, heterocyclyl-C_{1-5} alkyl, heteroaryl, or heteroaryl-C_{1-5} alkyl;

L^a is -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -SO_2-, or a bond; and

Z^2 is heterocyclyl, heterocyclyl-C_{1-5} alkyl, or a bond.

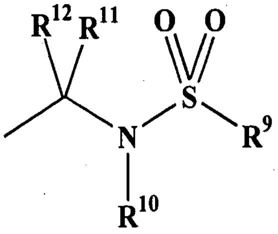
5. The compound of claim 4, wherein

Z^1 is phenyl optionally substituted with Cy, -CO-R^d, halogen, oxo, aryl-substituted alkenyl;

L^a is -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, or -SO_2-; and

Z^2 is heterocyclyl or a bond.

6. The compound of claim 1, wherein R^1 is



R^9 is

1) C_{1-10} alkyl,
2) C_{2-10} alkenyl,
3) C_{2-10} alkynyl,
4) Cy,
5) Cy-C_{1-10} alkyl,
6) Cy-C_{2-10} alkenyl, or
7) Cy-C_{2-10} alkynyl;

each of **R^{10}** and **R^{11}**, independently, is selected from the group consisting of hydrogen, aryl, alkyl, alkenyl or alkynyl, cycloalkyl, cycloalkenyl, and aryl-substituted alkyl;

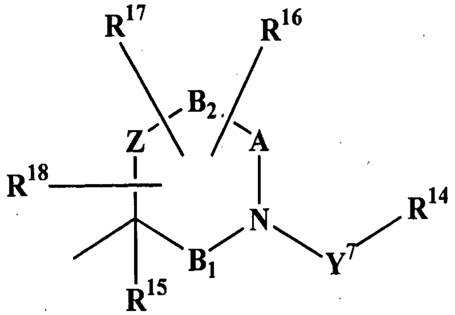
R^{12} is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl,
6) aryl-C_{1-10} alkyl,
7) heteroaryl, or
8) heteroaryl-C_{1-10} alkyl;

wherein each of alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, and aryl and heteroaryl are optionally substituted with one to four substituents independently selected from R^b;

R^{11}, R^{12} and the carbon to which they are attached form a 3-7 membered mono- or bicyclic ring containing 0-2 heteroatoms selected from N, O, and S.

7. The compound of claim 1, wherein R^1 is



$\mathbf{R^{14}}$ is

8) C_{1-10} alkyl,
9) C_{2-10} alkenyl,
10) C_{2-10} alkynyl,
11) Cy,
12) Cy-C_{1-10} alkyl,
13) Cy-C_{2-10} alkenyl, or
14) Cy-C_{2-10} alkynyl,

$\mathbf{R^{15}}$ is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl,
6) aryl-C_{1-10} alkyl,
7) heteroaryl, or
8) heteroaryl-C_{1-10} alkyl,

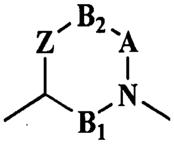
each of $\mathbf{R^{16}}$, $\mathbf{R^{17}}$, and $\mathbf{R^{18}}$, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{2-10} alkenyl,
8) Cy-C_{2-10} alkynyl, or
9) a group selected from R^a

wherein Cy is optionally substituted with one to four substituents independently selected from R^b or one of the following groups:

1) $-NR^cC(O)NR^cSO_2R^d$,
2) $-NR^cS(O)_mR^d$,
3) $-OS(O)_2OR^c$, or
4) $-OP(O)(OR^c)_2$;

two of R^{16}, R^{17}, and R^{18}, when attached to a common ring atom, together with the common ring atom form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O, or S; or two of R^{16}, R^{17}, and R^{18}, when attached to two adjacent ring atoms, together with these two ring atoms form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O, or S;

the ring 

represents a 3-7 membered saturated or unsaturated heterocyclyl or heteroaryl wherein

each of Z, A, B_1 and B_2, independently, is

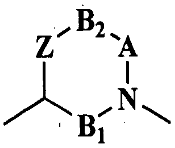
1) a bond,
2) -C-,
3) -C-C-,
4) -C=C-,
5) a heteroatom selected from the group consisting of N, O, and S, or
6) $-S(O)_m-$;

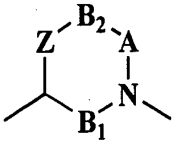
m having been defined in claim 1;

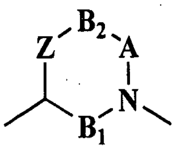
Y^7 is

1) -C(O)-,
2) -C(O)O-,
3) -C(O)NR^c-,
4) -S(O)$_2$-,
5) -P(O)(OR^c), or
6) -C(O)-C(O)-;

wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, and each said Cy is optionally substituted with one to four substituents independently selected from R^b.

8. The compound of claim 7, wherein the ring  represents azetidine, pyrrole, pyrrolidine, imidazole, pyrazole, triazole, pyridine, piperidine, pyrazine, piperazine, pyrimidine, oxazole, thiazole, or morpholine.

9. The compound of claim 8, wherein the ring  represents azetidine, pyrrole, pyrrolidine, imidazole, piperidine, or morpholine.

10. The compound of claim 9, wherein the ring  represents pyrrolidine.

11. The compound of claim 7, wherein R^{15} is H or C$_{1\text{-}5}$ alkyl.

12. The compound of claim 7, wherein each of R^{16}, R^{17}, and R^{18}, independently, is selected from the group consisting of H, C$_{1\text{-}10}$ alkyl, Cy, -OR^c, -halogen, -S(O)$_m$R^c, -NR^{c}R^d, -

$NR^cC(O)R^d$, $-NR^cC(O)OR^d$, $-NR^cC(O)NR^dR^e$, and oxo; each of R^c, R^d, R^e, and m having been defined in claim 1.

13. The compound of claim 7, wherein Y^7 is -O-C(O)-, -C(O)-O-, or $-SO_2-$.

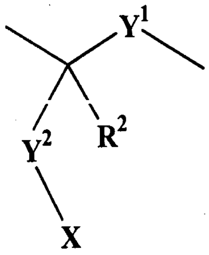
14. The compound of claim 13, wherein Y^7 is $-SO_2-$.

15. The compound of claim 7, wherein R^{14} is Cy or Cy-C_{1-5} alkyl.

16. The compound of claim 15, wherein Cy is phenyl.

17. The compound of claim 1, wherein L' contains 2-4 carbon chain atoms.

18. The compound of claim 1, wherein L' is



in which

Y^1 is

1) -C(O)-,
2) -O-C(O)-,
3) -C(O)-O-,
4) $-C(O)-NR^c-$,
5) $-NR^c-C(O)-$,
6) $-NR^c-C(O)-NR^d-$,
7) $-NR^c-C(O)-O-$,
8) $-O-C(O)-NR^c-$,
9) $-S(O)_m-$,
10) $-S(O)_2-NR^c-$,

11) $-NR^c-S(O)_2-$,
12) $-NR^c-C(NR^m)-$,
13) -O-, or
14) $-NR^c-$;

R^2 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{1-10} alkenyl, or
8) Cy-C_{1-10} alkynyl;

Y^2 is a bond or $-C(R^h)(R^i)-$; wherein

each of R^h and R^i is independently selected from the group consisting of:

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl,
6) aryl-C_{1-10} alkyl,
7) heteroaryl, and
8) heteroaryl-C_{1-10} alkyl,

R^h and R^i taken together with the carbon to which they are attached may optionally form a 3-7 membered ring containing 0-2 heteroatoms selected from N, O and S;

X is

1) $-C(O)OR^c$,
2) $-P(O)(OR^c)(OR^d)$,
3) $-P(O)(R^c)(OR^d)$,
4) $-S(O)_mOR^c$,
5) $-C(O)NR^cR^j$, or

6) -5-tetrazolyl;

m having been defined in claim 1;

wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, each of said aryl and heteroaryl is optionally substituted with one to four substituents independently selected from R^b; and Cy is a cycloalkyl, heterocyclyl, aryl, or heteroaryl; and

provided that when Y^2 is not a bond, X is -COOH, -COO-C_{1-4} alkyl, -P(O)$(OH)_2$, -P(O)(OH)(O-C_{1-4} alkyl), -P(O)$(C_{1-4}$ alkyl$)_2$, -P(O)(OH)(C_{1-4} alkyl), -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl), -SO_2-C_{1-4} alkyl, -CO-NH_2, -CO-NH(C_{1-4} alkyl), -CO-N(C_{1-4} alkyl$)_2$, or -5-tetrazolyl.

19. The compound of claim 18, wherein Y^1 is -NR^c-C(O)-, -NR^c-, -NR^c-S$(O)_2$-, or -NR^c-C(NR^m)-.

20. The compound of claim 19, wherein Y^1 is -NR^c-C(O)-.

21. The compound of claim 18, wherein R^2 is H or C_{1-5} alkyl.

22. The compound of claim 21, wherein R^2 is H.

23. The compound of claim 18, wherein Y^2 is a bond or -C(R^h)(R^i)-, wherein each of R^h and R^i, independently, is H or C_{1-5} alkyl.

24. The compound of claim 23, wherein each of R^h and R^i, independently, is H.

25. The compound of claim 23, wherein Y^2 is a bond.

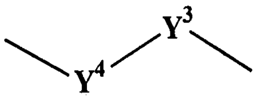
26. The compound of claim 18, wherein X is -C(O)OR^c or -C(O)NR^cR^j.

27. The compound of claim 26, wherein X is -C(O)OR^c where R^c is H or C_{1-5} alkyl.

28. The compound of claim 18, wherein Y^1 is -NR^c-C(O)-; R^2 is H or C_{1-5} alkyl; Y^2 is a bond or -CH_2-; and X is -C(O)OR^c where each R^c is independently H or C_{1-5} alkyl.

29. The compound of claim 1, wherein L contains 4-10 carbon chain atoms.

30. The compound of claim 1, wherein L is



in which

Y^3 is

9) a bond,
10) C_{1-10} alkyl,
11) C_{2-10} alkenyl,
12) C_{2-10} alkynyl,
13) aryl,
14) aryl-C_{1-10} alkyl,
15) heteroaryl, or
16) heteroaryl-C_{1-10} alkyl; and

Y^4 is

1) a bond,
2) -C(O)-,
3) -O-C(O)-,
4) -C(O)-O-,
5) -C(O)-NR^c-,
6) -NR^c-C(O)-,
7) -NR^c-C(O)-NR^d-,
8) -NR^c-C(O)-O-,
9) -O-C(O)-NR^c-,
17) -S(O)$_m$-,
18) -S(O)$_2$-NR^c-,
19) -NR^c-S(O)$_2$-,
20) -NR^c-C(NR^d)-,
21) -O-, or
22) -NR^c-;

wherein each of alkyl, alkenyl, and alkynyl is optionally containing one to four heteroatoms selected from N, O, S, and -S(O)$_m$-, and each of alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, and each of aryl and heteroaryl is optionally substituted with one to four substituents independently selected from R^b; each of R^a, R^b, R^c, R^d, and m having been defined in claim 1; and

provided that each of Y^3 and Y^4 is not a bond simultaneously.

31. The compound of claim 30, wherein Y^3 is a bond, C$_{1-5}$ alkyl, or C$_{1-5}$ alkenyl; and Y^4 is a bond, -C(O)-NR^c-, -C(O)-, -NR^c-, or -O-, where R^c is H or C$_{1-5}$ alkyl.

32. The compound of claim 1, wherein

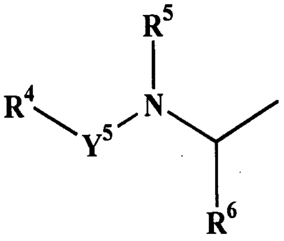
R^3 is Z^3-L^b-Z^4-, in which

Z^3 is Cy, Cy-C$_{1-10}$ alkyl, Cy-C$_{1-10}$ alkenyl, or Cy-C$_{1-10}$ alkynyl;

L^b is -C(O)-, -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -NR^c-C(O)-NR^d-, -NR^c-C(O)-O-, -O-C(O)-NR^c-, -S(O)$_m$-, -SO$_2$-NR^c-, -NR^c-SO$_2$-, -O-, -NR^c-, or a bond; and

Z^4 is cycloalkyl, cycloalkyl-C$_{1-10}$ alkyl, cycloalkenyl, cycloalkenyl-C$_{1-10}$ alkyl, aryl, aryl-C$_{1-10}$ alkyl, heterocyclyl, heterocyclyl-C$_{1-10}$ alkyl, heteroaryl, heteroaryl-C$_{1-10}$ alkyl or a bond; or

R^3 is a moiety of the formula:



each of m, R^c, R^d R^4, R^5, R^6, and Y^5 having been defined in claim 1.

33. The compound of claim 32, wherein R^4 is Z^5-L^c-Z^6-, in which

Z^5 is Cy, Cy-C$_{1-10}$ alkyl, Cy-C$_{1-10}$ alkenyl, or Cy-C$_{1-10}$ alkynyl;

L^c is -C(O)-, -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -NR^c-C(O)-NR^d-, -NR^c-C(O)-O-, -O-C(O)-NR^c-, -S(O)$_m$-, -SO$_2$-NR^c-, -NR^c-SO$_2$-, -O-, -NR^c-, or a bond; and

Z^6 is cycloalkyl, cycloalkyl-C_{1-10} alkyl, cycloalkenyl, cycloalkenyl-C_{1-10} alkyl, aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, heteroaryl-C_{1-10} alkyl or a bond;

each of m, R^c, and R^d having been defined in claim 1.

34. The compound of claim 33, wherein

each of Z^3 and Z^5, independently, is aryl, aryl-C_{1-10} alkyl, aryl-C_{1-10} alkenyl, aryl-C_{1-10} alkynyl, heteroaryl, heteroaryl-C_{1-10} alkyl, heteroaryl-C_{1-10} alkenyl, or heteroaryl-C_{1-10} alkynyl;

each of L^b and L^c, independently, is -C(O)-, -S(O)$_m$-, -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -NR^c-C(O)-NR^d-, -SO$_2$-NR^c-, -NR^c-SO$_2$-, -O-, -NR^c-, or a bond; and

each of Z^4 and Z^6, independently, is aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, heteroaryl-C_{1-10} alkyl, or a bond.

35. The compound of claim 34, wherein

each of Z^3 and Z^5, independently, is aryl, aryl-C_{1-10} alkyl, heteroaryl, or heteroaryl-C_{1-10} alkyl;

each of L^b and L^c, independently, is -C(O)-, -SO$_2$-, -C(O)-NR^c-, -NR^c-C(O)-, or -NR^c-C(O)-NR^d-; where each of R^c and R^d, independently, is H or C_{1-5} alkyl; and

each of Z^4 and Z^6, independently, is aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, heteroaryl-C_{1-10} alkyl, or a bond.

36. The compound of claim 35, wherein

each of Z^3 and Z^5, independently, is aryl;

each of L^b and L^c, independently, is -NR^c-C(O)-NR^d-; and

each of Z^4 and Z^6, independently, is aryl.

37. The compound of claim 32, wherein Y^5 is -CO- or -O-CO-.

38. The compound of claim 37, wherein Y^5 is -CO-.

39. The compound of claim 32, wherein R^5 is H or C_{1-5} alkyl.

40. The compound of claim 39, wherein R^5 is H or C_{1-2} alkyl.

41. The compound of claim 32, wherein R^6 is an amino acid side chain selected from the group consisting of cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, alanine, norvaline, valine, and 2-aminobutyric acid.

42. The compound of claim 41, wherein R^6 is an amino acid side chain selected from the group consisting of leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, alanine, norvaline, valine, and 2-aminobutyric acid.

43. The compound of claim 42, wherein R^6 is the side chain of leucine or isoleucine.

44. The compound of claim 32, wherein R^1 is Z^1-L^a-Z^2-,

in which

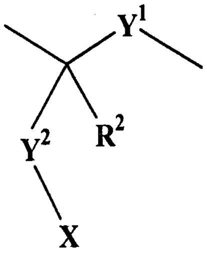
Z^1 is aryl optionally substituted with Cy, -CO-R^d, halogen, oxo, or aryl-substituted alkenyl;

L^a is -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, or -SO_2-; and

Z^2 is heteroaryl, heterocyclyl, or a bond.

45. The compound of claim 44, wherein Z^1 is phenyl; L^a is -SO_2-; and Z^2 is azetidine, pyrrole, pyrrolidine, imidazole, piperidine, or morpholine.

46. The compound of claim 44, wherein L' is

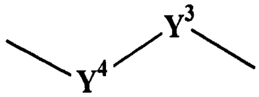


in which

Y^1 is $-NR^c-C(O)-$, $-NR^c-$, $-NR^c-S(O)_2-$, or $-NR^c-C(NR^d)-$; R^2 is H or C_{1-5} alkyl; Y^2 is a bond or $-C(R^h)(R^i)-$; and X is $-C(O)OR^c$; where each of R^c, R^h, and R^i, independently, is H or C_{1-5} alkyl.

47. The compound of claim 46, wherein Y^1 is $-NH-C(O)-$; R^2 is H; Y^2 is a bond; and X is $-C(O)OH$.

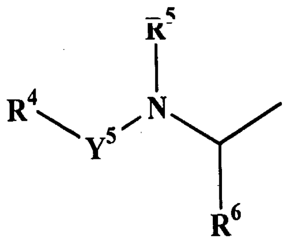
48. The compound of claim 46, wherein L is



wherein Y^3 is a bond, C_{1-5} alkyl, or C_{1-5} alkenyl; and Y^4 is a bond, $-C(O)-NR^c-$, $-C(O)-$, $-NR^c-$, or $-O-$, where R^c is H or C_{1-5} alkyl.

49. The compound of claim 48, wherein Y^3 is a bond or C_{1-5} alkyl; and Y^4 is $-C(O)-NH-$.

50. The compound of claim 48, wherein R^3 is a moiety of the formula:



in which R^4 is $Z^5-L^c-Z^6-$, where

Z^5 is aryl, aryl-C_{1-10} alkyl, aryl-C_{1-10} alkenyl, aryl-C_{1-10} alkynyl, heteroaryl, heteroaryl-C_{1-10} alkyl, heteroaryl-C_{1-10} alkenyl, or heteroaryl-C_{1-10} alkynyl;

L^c is $-C(O)-$, $-S(O)_m-$, $-O-C(O)-$, $-C(O)-O-$, $-C(O)-NR^c-$, $-NR^c-C(O)-$, $-NR^c-C(O)-NR^d-$, $-SO_2-NR^c-$, $-NR^c-SO_2-$, $-O-$, $-NR^c-$, or a bond, with R^c and R^d, independently, being H or C_{1-5} alkyl; and

Z^6 is aryl, aryl-C_{1-10} alkyl, heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, heteroaryl-C_{1-10} alkyl, or a bond.

51. The compound of claim 50, wherein Z^5 is aryl; L^c is $-NR^c-C(O)-NR^d-$; and Z^6 is aryl.

52. The compound of claim 51, wherein R^4 is o-methylphenyl-ureido-phenyl-CH_2-.

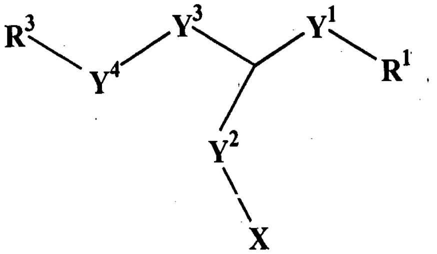
53. The compound of claim 51, wherein Y^5 is -CO- or -O-CO-.

54. The compound of claim 53, wherein R^5 is H or C_{1-2} alkyl.

55. The compound of claim 54, wherein R^6 is an amino acid side chain selected from the group consisting of leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, alanine, norvaline, valine, and 2-aminobutyric acid.

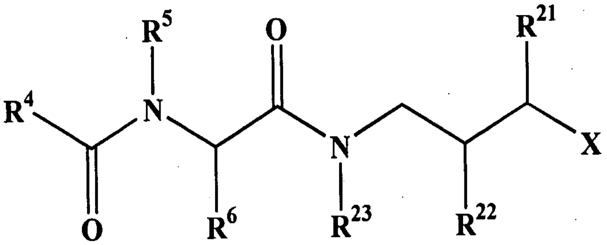
56. The compound of claim 55, wherein R^6 is the side chain of leucine or isoleucine.

57. The compound of claim 1, wherein the chemical structure of said compound is



(II)

58. The compound of claim 1, wherein the chemical structure of said compound is



wherein each of $\mathbf{R}^{21}$ and $\mathbf{R}^{22}$, independently, is selected from a group consisting of

1) Cy,
2) $-OR^c$,
3) $-NO_2$,
4) -halogen,
5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,

8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^c$,
12) $-CO_2R^c$,
13) $-CO_2(CR^eR^f)_nCONR^cR^d$,
14) $-OC(O)R^c$,
15) -CN,
16) $-C(O)NR^cR^d$,
17) $-NR^cC(O)R^d$,
18) $-OC(O)NR^cR^d$,
19) $-NR^cC(O)OR^d$,
20) $-NR^cC(O)NR^dR^e$,
21) $-CR^c(NOR^d)$,
22) $-CF_3$,
23) $-OCF_3$,
24) oxo
25) C_{1-10} alkyl,
26) C_{2-10} alkenyl,
27) C_{2-10} alkynyl,
28) aryl-C_{1-10} alkyl, and
29) heteroaryl-C_{1-10} alkyl

wherein each of alkyl, alkenyl, alkynyl, aryl, heteroaryl is optionally substituted with a group independently selected from R^g;

R^{23} is selected from the group consisting of

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl,
6) aryl-C_{1-10} alkyl,
7) heteroaryl, and

8) heteroaryl-C_{1-10} alkyl,

wherein alkyl, alkenyl and alkynyl are optionally substituted with one to four substituents independently selected from R^a, and aryl and heteroaryl are optionally substituted with one to four substituents independently selected from R^b.

59. The compound of claim 1, where said compound is compound no.

6696

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

6697

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_1 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7256

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7578

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is hydrogen);

8555

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8571

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)azacyclo-2-butyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);
8646
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -CH_2COOH,
L is C_2 alkyl interrupted by -NR^c-C(O)- where R^c is H,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is 2-methylpropyl);
9271
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -C(=O)- and
    (ii) substituted with -COOH,
L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is 2-methylpropyl);
7083
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R^3 by -C(=O)- at the 1-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
7328
(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
7399
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is hydrogen);
7788
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,
  R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
7855
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -CH_2COOH,
L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8205

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_5 alkyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8290

(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8291

(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8294

(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8295

(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8304

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is p-tolyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8557

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is p-tolyl terminally attached to R^3 by -C(=O)NH-,

R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));

8583
(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8586
(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8606
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is benzyl);
8607
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8628
(R^1 is 1-benzenesulfonyl-3-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8674
(R^1 is 1-benzenesulfonyl-4-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8684
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is p-xylyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8929

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

- (i) terminally attached to R^1 by -NHC(=O)- and
- (ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

9273

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

- (i) terminally attached to R^1 by -C(=O)- and
- (ii) substituted with -COOH,

L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl); or

9275

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

- (i) terminally attached to R^1 by -C(=O)- and
- (ii) substituted with -COOH,

L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl).

60. The compound of claim 1, where said compound is compound no.

7083

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R^3 by -C(=O)- at the 1-position of the pyrrolidine ring,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

7328

(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

7399

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is hydrogen);

7788

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
7855
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with $-CH_2COOH$,
L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8205
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_5 alkyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8290
(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8291
(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8294
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8295
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8304
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8557
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));
8583
(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8586
(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);

8606
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is benzyl);
8607
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8628
(R^1 is 1-benzenesulfonyl-3-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8674
(R^1 is 1-benzenesulfonyl-4-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8684

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is p-xylyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8929

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

9273

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl); or

9275

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl).

61. A composition comprising a pharmaceutical carrier and an effective amount of a compound of the following formula:

$$R^3—L—L'—R^1$$

wherein

R^1 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{1-10} alkenyl, or
8) Cy-C_{1-10} alkynyl;

L' is a hydrocarbon linker moiety having 1-5 carbon chain atoms and is

(i) optionally interrupted by, or terminally attached to, one or more of the following groups:

1) -C(O)-,
2) -O-C(O)-,
3) -C(O)-O-,

4) -C(O)-NR^c-,
5) -NR^c-C(O)-,
6) -NR^c-C(O)-NR^d-,
7) -NR^c-C(O)-O-,
8) -O-C(O)-NR^c-,
9) -S(O)$_m$-,
10) -SO$_2$-NR^c-,
11) -NR^c-SO$_2$-,
12) -NR^c-C(NR^m)-,
13) -O-,
14) -NR^c-, or
15) -Cy; or

(ii) optionally substituted with one or more substituents independently selected from R^b;

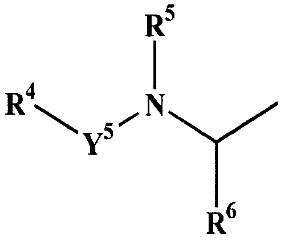
L is a hydrocarbon linker moiety having 1-14 carbon chain atoms and is

(i) optionally interrupted by, or terminally attached to, one or more of the following groups:

1) -C(O)-,
2) -O-C(O)-,
3) -C(O)-O-,
4) -C(O)-NR^c-,
5) -NR^c-C(O)-,
6) -NR^c-C(O)-NR^d-,
7) -NR^c-C(O)-O-,
8) -O-C(O)-NR^c-,
9) -S(O)$_m$-,
10) -SO$_2$-NR^c-,
11) -NR^c-SO$_2$-,
12) -O-,
13) -NR^c-, or
14) Cy; or

(ii) optionally substituted with one or more substituents independently selected from R^b; and

R^3 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, or oxocarbocyclyl-fused aryl; or a moiety of the following formula:



wherein:

Y^5 is selected from the group consisting of -CO-, -O-CO-, $-SO_2-$ and $-PO_2-$;

each of **R^4** and **R^6**, independently, is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;

each of said Cy is cycloalkyl, heterocyclyl, aryl, or heteroaryl;

each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a; and

each of said cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

R^a is

1) Cy,
2) $-OR^c$,
3) $-NO_2$,
4) -halogen,
5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,
18) $-OC(O)R^c$,
19) -CN,
20) $-NR^cC(O)R^d$,
21) $-OC(O)NR^cR^d$,
22) $-NR^cC(O)OR^d$,
23) $-NR^cC(O)NR^dR^e$,
24) $-CR^c(NOR^d)$,
25) $-CF_3$,
26) $-OCF_3$, or

27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or
6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) -COO-C_{1-4} alkyl,
5) -P(O)(OH)$_2$,
6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl)$_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),

10) -SO_2-C_{1-4} alkyl,
11) -CO-NH_2,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl)$_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or
20) aryloxy;

R^m is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) C_{1-10} acyl,
8) C_{1-10} alkyl-sulfonyl, or
9) C_{1-10} alkoxy; and

R^j is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) cyano,
6) aryl,
7) aryl-C_{1-10} alkyl,
8) heteroaryl,
9) heteroaryl-C_{1-10} alkyl, or

10) $-SO_2R^k$,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, or aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or

(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or

(iii) R^1 must contain only one Cy group;

(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a $-SO_2$-optionally substituted phenyl group;

or a pharmaceutically acceptable salt thereof.

62. The composition of claim 61, wherein said compound is compound no.

6696

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

6697

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_1 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7256

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7578

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is hydrogen);

8555

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8571

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)azacyclo-2-butyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8646

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -CH_2COOH,

L is C_2 alkyl interrupted by -NR^c-C(O)- where R^c is H,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl);

9271

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl);

7083

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R3 by -C(=O)- at the 1-position of the pyrrolidine ring,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

7328

(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

7399

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is hydrogen);

7788

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

7855

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with $-CH_2COOH$,

L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8205

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_5 alkyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8290

(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8291
(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8294
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8295
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8304

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8557
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));
8583
(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8586
(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8606

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,

R^3 is benzyl);

8607

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8628

(R^1 is 1-benzenesulfonyl-3-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8674

(R^1 is 1-benzenesulfonyl-4-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8684

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is p-xylyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8929

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

9273

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl); or

9275

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl).

63. A method of inhibiting VLA-4-dependent cell adhesion, comprising administering to a patient in need thereof an effective amount of a compound of the following formula:

$$R^3—L—L'—R^1$$

wherein

R^1 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{1-10} alkenyl, or
8) Cy-C_{1-10} alkynyl;

L' is a hydrocarbon linker moiety having 1-5 carbon chain atoms and is

(i) optionally interrupted by, or terminally attached to, one or more of the following groups:

1) -C(O)-,

2) -O-C(O)-,
3) -C(O)-O-,
4) -C(O)-NR^c-,
5) -NR^c-C(O)-,
6) -NR^c-C(O)-NR^d-,
7) -NR^c-C(O)-O-,
8) -O-C(O)-NR^c-,
9) -S(O)$_m$-,
10) -SO$_2$-NR^c-,
11) -NR^c-SO$_2$-,
12) -NR^c-C(NR^m)-,
13) -O-,
14) -NR^c-, or
15) -Cy; or

(ii) optionally substituted with one or more substituents independently selected from R^b;

L is a hydrocarbon linker moiety having 1-14 carbon chain atoms and is

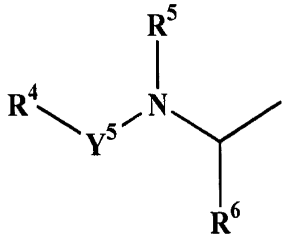
(i) optionally interrupted by, or terminally attached to, one or more of the following groups:

1) -C(O)-,
2) -O-C(O)-,
3) -C(O)-O-,
4) -C(O)-NR^c-,
5) -NR^c-C(O)-,
6) -NR^c-C(O)-NR^d-,
7) -NR^c-C(O)-O-,
8) -O-C(O)-NR^c-,
9) -S(O)$_m$-,
10) -SO$_2$-NR^c-,
11) -NR^c-SO$_2$-,
12) -O-,
13) -NR^c-, or

14) Cy; or

(ii) optionally substituted with one or more substituents independently selected from R^b; and

R^3 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, or oxocarbocyclyl-fused aryl; or a moiety of the following formula:



wherein:

Y^5 is selected from the group consisting of -CO-, -O-CO-, $-SO_2-$ and $-PO_2-$;

each of **R^4** and **R^6**, independently, is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;

each of said Cy is cycloalkyl, heterocyclyl, aryl, or heteroaryl;

each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a; and

each of said cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

R^a is selected from the group consisting of

1) Cy,
2) $-OR^c$,
3) $-NO_2$,
4) -halogen,
5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,
18) $-OC(O)R^c$,
19) -CN,
20) $-NR^cC(O)R^d$,
21) $-OC(O)NR^cR^d$,
22) $-NR^cC(O)OR^d$,
23) $-NR^cC(O)NR^dR^e$,
24) $-CR^c(NOR^d)$,
25) $-CF_3$,

26) -OCF$_3$, or

27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,

2) C$_{1-10}$ alkyl,

3) C$_{2-10}$ alkenyl,

4) C$_{2-10}$ alkynyl,

5) aryl-C$_{1-10}$ alkyl, or

6) heteroaryl-C$_{1-10}$ alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g

each of R^c, R^d, R^e, and R^f, independently, is

1) H,

2) C$_{1-10}$ alkyl,

3) C$_{2-10}$ alkenyl,

4) C$_{2-10}$ alkynyl,

5) Cy, or

6) Cy-C$_{1-10}$ alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,

2) amino,

3) carboxy,

4) -COO-C$_{1-4}$ alkyl,

5) -P(O)(OH)$_2$,

6) -P(O)(OH)(O-C$_{1-4}$ alkyl),

7) -P(O)(C$_{1-4}$ alkyl)$_2$,

8) -P(O)(OH)(C$_{1-4}$ alkyl),

9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),
10) -SO_2-C_{1-4} alkyl,
11) -CO-NH_2,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl)$_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or
20) aryloxy;

R^m is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) C_{1-10} acyl,
8) cyano,
9) C_{1-10} alkyl-sulfonyl, or
10) C_{1-10} alkoxy; and

R^j is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) cyano,
6) aryl,
7) aryl-C_{1-10} alkyl,

8) heteroaryl,

9) heteroaryl-C_{1-10} alkyl, or

10) -SO_2R^k,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or

(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or

(iii) R^1 must contain only one Cy group;

or a pharmaceutically acceptable salt thereof.

64. The method of claim 63, wherein said compound is compound no.

5192

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

5283

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C$_2$ alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen,
R^6 is 2-methylpropyl);

6696

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 and R^6 together are 1,3-propanediyl);

6697

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C$_1$ alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 and R^6 together are 1,3-propanediyl);

6714

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_1 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

7234

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_4 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen,

R^6 is 2-methylpropyl);

7256

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7578

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is hydrogen);
7662
(R^1 is 1-(benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8221
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8308
(R^1 is 1-(methanesulfonyl)-octahydroindole,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8309

(R^1 is 1-(benzenesulfonyl)-octahydroindole,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8341

(R^1 is 1-(benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8342

(R^1 is 1-(benzenesulfonyl)-2S-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,
R^6 is 2-methylpropyl, wherein the carbon that R^6 connects to has the S configuration);
8343
(R^1 is 1-(benzenesulfonyl)-2R-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N’-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl, wherein the carbon that R^6 connects to has the S configuration);
8367
(R^1 is 1-(benzenesulfonyl)-2S-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N’-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl, wherein the carbon that R^6 is bound to has the R configuration);
8368
(R^1 is 1-(benzenesulfonyl)-2R-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N’-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,

R^5 is methyl,
R^6 is 2-methylpropyl, wherein the carbon that R^6 is bound to has the R configuration);
8469
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen,
R^6 is 2-methylpropyl);
8491
(R^1 is 1-(benzenesulfonyl)-2-methyl2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen,
R^6 is 2-methylpropyl);
8554
(R^1 is 1-(benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 2-{5-[2-(2-methylphenyl)amino]-1H-benzimidazole}-ethyl,
Y^5 is -C(=O)-,

R^5 is hydrogen,
R^6 is 2-methylpropyl);
8555
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8571
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)azacyclo-2-butyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8642
(R^1 is 1-(benzenesulfonyl)-2-methyl-4-oxa-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N’-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,

R^6 is 2-methylpropyl);

8646

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -CH_2COOH,

L is C_2 alkyl interrupted by -NR^c-C(O)- where R^c is H,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl);

8685

(R^1 is 1-(benzenesulfonyl)-4-(O-benzyl)carbamoyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8689

(R^1 is 1-(benzenesulfonyl)-4-aminopyrrolidine,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8690
(R^1 is 1-(benzenesulfonyl)-4-(7-aminoheptamido)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8698
(R^1 is 1-(benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-carboxylatoethyl);
8749
(R^1 is 1-(benzenesulfonyl)-4S-(7-amino-(PEG 20K SPA conjugate)-heptamido)-pyrrolidine,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8758

(R^1 is 1-(benzenesulfonyl)-4R-amino-(PEG 20K SPA conjugate)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8796

(R^1 is 1-(benzenesulfonyl)-4-(2-(4-hydroxyphenyl)acetamido)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8797

(R^1 is 1-(benzenesulfonyl)-4-(3-(4-hydroxyphenyl)propionamido)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl,

R^6 is 2-methylpropyl);

8809

(R^1 is 1-(benzenesulfonyl)-4S-amino-(PEG 20K SPA conjugate)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9120
(R^1 is 1-((4-styryl)benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9169
(R^1 is 1-(4-(2,3-dihydroisoindol-1-one)benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9171

(R^1 is 1-((4-acetylbenzene)sulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9182
(R^1 is 1-((4-vinylbenzene)sulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9227
(R^1 is 1-(benzenesulfonyl)-4-(7-amino-(PEG 30K SPA conjugate)-heptamido)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9264

(R^1 is methane-1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N’-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9271
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -C(=O)- and
    (ii) substituted with -COOH,
L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is 2-methylpropyl);
9315
(R^1 is 1-(benzenesulfonyl)-4-(7-amino-(PEG 50K SPA conjugate)-heptamido)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -C(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N’-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9418

(R^1 is 1-(benzenesulfonyl)-4-(7-amino-(PEG 20K SPA conjugate)-heptamido)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -C(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
9621
(R^1 is 1-(benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -C(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-(2-(indol-3-yl)-acetamido)-3-methoxybenzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen,
R^6 is 2-methylpropyl);
7083
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R^3 by -C(=O)- at the 1-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
7200
(R^1 is 1-(benzenesulfonyl)-5-oxo-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
7328
(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
7399
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R_3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is hydrogen);
7788
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

7855

(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with $-CH_2COOH$,

L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8205

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_5 alkyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);

8290

(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is 2-methylpropyl);

8291

(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8294
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8295
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8304
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,

L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8557
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));
8582
(R^1 is 1-(benzenesulfonyl)-5-phenyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8583
(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);

8585
(R^1 is 1-((3,5-dichloro)benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-(2-(indol-3-yl)-acetamido)-3-methoxybenzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen,
R^6 is 2-methylpropyl);
8586
(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8606
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is benzyl);
8607
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8628
(R^1 is 1-benzenesulfonyl-3-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8674
(R^i is 1-benzenesulfonyl-4-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8684
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is p-xylyl terminally attached to R^3 by -C(=O)NH-,

R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8723
(R^1 is 1-(benzenesulfonyl)-4-(7-aminoheptamido)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8746
(R^1 is 1-(benzenesulfonyl)-4-amino-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by –C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl,
R^6 is 2-methylpropyl);
8929
(R^1 is 2,6-dichlorophenyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);

9273

(R^1 is 2,6-dichlorophenyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

    (i) terminally attached to R^1 by -C(=O)- and

    (ii) substituted with -COOH,

L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl);

or 9275

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

    (i) terminally attached to R^1 by -C(=O)- and

    (ii) substituted with -COOH,

L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl).

65. The method of claim 63, wherein said compound is compound no.

6696

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

    (i) terminally attached to R^1 by -NHC(=O)- and

    (ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

6697

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C$_1$ alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7256

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C$_4$ alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 and R^6 together are 1,3-propanediyl);

7578

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -NHC(=O)- and

(ii) substituted with -COOH,

L is C$_2$ alkyl terminally attached to R^3 by -C(=O)NH-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is methyl, and

R^6 is hydrogen);

8555

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-piperidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

  (i) terminally attached to R^1 by -NHC(=O)- and
  (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8571
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)azacyclo-2-butyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
  (i) terminally attached to R^1 by -NHC(=O)- and
  (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8646
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
  (i) terminally attached to R^1 by -NHC(=O)- and
  (ii) substituted with $-CH_2COOH$,
L is C_2 alkyl interrupted by $-NR^c$-C(O)- where R^c is H,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is 2-methylpropyl);
9271
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
  (i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,
L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is 2-methylpropyl);
7083
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is N-[2-(pyrrolidine-2-yl)ethyl]-methanesulfonylaminomethyl, terminally attached to R3 by -C(=O)- at the 1-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
7328
(R^1 is 1-methanesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
7399
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
(i) terminally attached to R^1 by -NHC(=O)- and
(ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,
R^5 is hydrogen, and
R^6 is hydrogen);
7788
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to -O-(o-phenyl)- terminally attached to R^3 by -C(=O)NH-,
    R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
7855
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with $-CH_2COOH$,
L is C_4 alkyl terminally attached to R^3 by -C(=O)NH-,
    R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8205
(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_5 alkyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8290
(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2S-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8291
(R^1 is 1-(furan-2-ylmethyl)-5-oxopyrrolidin-2R-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8294
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2S-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8295
(R^1 is 1-(thiophene-2-ylmethyl)-5-oxopyrrolidin-2R-yl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,

R^5 is methyl, and
R^6 is 2-methylpropyl);
8304
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8557
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is p-tolyl terminally attached to R^3 by -C(=O)NH-,
R^3 is ethyl-2-(4-[N'-(2-methylphenyl)ureido]phenyl));
8583
(R^1 is 1-methanesulfonyl-5-phenyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8586
(R^1 is (benzenesulfonyl)cyclohexylaminomethyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,

L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8606
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is benzyl);
8607
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is 4-[(pyrrolidine-1-carbonyl)-amino]phenylmethyl terminally attached to R^3 by -C(=O)NH- at the 3-position of the pyrrolidine ring,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8628
(R^1 is 1-benzenesulfonyl-3-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);

8674
(R^1 is 1-benzenesulfonyl-4-piperidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
8684
(R^1 is 1-benzenesulfonyl-2-pyrrolidinyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is p-xylyl terminally attached to R^3 by -C(=O)NH-,
R^3 is 4-[N'-(2-methylphenyl)ureido]benzyl);
8929
(R^1 is 2,6-dichlorophenyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -NHC(=O)- and
    (ii) substituted with -COOH,
L is C_2 alkyl terminally attached to R^3 by -C(=O)NH-,
R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,
Y^5 is -C(=O)-,
R^5 is methyl, and
R^6 is 2-methylpropyl);
9273
(R^1 is 2,6-dichlorophenyl,
L' is a hydrocarbon linker moiety having 1 carbon chain atom and is
    (i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 1,4-piperidinediyl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl); or

9275

(R^1 is 1-(3,5-dichloro-benzenesulfonyl)-2-methyl-2-pyrrolidinyl,

L' is a hydrocarbon linker moiety having 1 carbon chain atom and is

(i) terminally attached to R^1 by -C(=O)- and

(ii) substituted with -COOH,

L is 4-methylpiperidine-1-yl terminally attached to R^3 by -C(=O)-,

R^4 is 4-[N'-(2-methylphenyl)ureido]benzyl,

Y^5 is -C(=O)-,

R^5 is hydrogen, and

R^6 is 2-methylpropyl).

66. A compound of the formula:

$$\mathbf{R^3—L—L'—R^1}$$

wherein

R^1 is Cy, Cy-C_{1-10} alkyl, Cy-C_{1-10} alkenyl, or Cy-C_{1-10} alkynyl;

L' is a hydrocarbon linker moiety having 1-5 carbon chain atoms and is

(i) optionally terminally attached to -NR^c-C(O)-; and

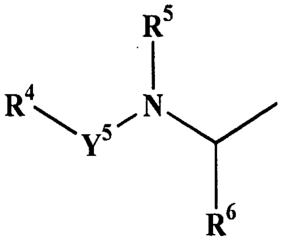
(ii) optionally substituted with one or more substituents independently selected from R^b;

L is a hydrocarbon linker moiety having 1-4 carbon chain atoms and is

(i) optionally terminally attached to-C(O)-NR^c-; and

(ii) optionally substituted with one or more substituents independently selected from R^b; and

R^3 is a moiety of the following formula:



wherein:

Y^5 is -CO-;

each of **R**^{4} and **R**^{6}, independently, is alkyl or aralkyl; and

R^5 is hydrogen or aryl;

each of said Cy is heterocyclyl, or heteroaryl;

each of said alkyl is optionally substituted with one to four substituents independently selected from R^a; and

each of said heterocyclyl, or heteroaryl is optionally substituted with one to four substituents independently selected from R^b;

R^a is

1) Cy,
2) $-OR^c$,
3) $-NO_2$,
4) -halogen,
5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,

18) -OC(O)R^c,
19) -CN,
20) -NR^cC(O)R^d,
21) -OC(O)N$R^c R^d$,
22) -NR^cC(O)OR^d,
23) -NR^cC(O)N$R^d R^e$,
24) -CR^c(NOR^d),
25) -CF_3,
26) -OCF_3, or
27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or
6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) -COO-C_{1-4} alkyl,
5) -P(O)$(OH)_2$,
6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl$)_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),
10) -SO_2-C_{1-4} alkyl,
11) -CO-NH_2,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl$)_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or
20) aryloxy; and

R^j is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) cyano,
6) aryl,
7) aryl-C_{1-10} alkyl,
8) heteroaryl,
9) heteroaryl-C_{1-10} alkyl, or
10) -SO_2R^k,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or

(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or

(iii) R^1 must contain only one Cy group;

(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a -SO_2-optionally substituted phenyl group;

or a pharmaceutically acceptable salt thereof.

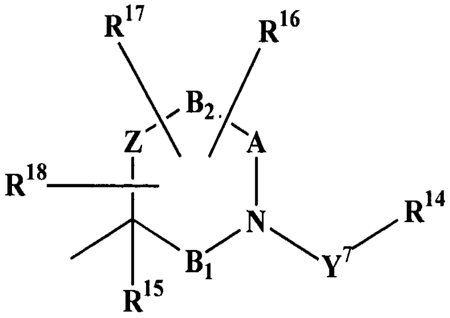
67. The compound of claim 66, wherein R^1 is Z^1-L^a-Z^2-, in which Z^1 is aryl or aryl-C_{1-10} alkyl; L^a is -$S(O)_m$-; m being 2; and Z^2 is heterocyclyl, heterocyclyl-C_{1-10} alkyl, heteroaryl, or heteroaryl-C_{1-10} alkyl.

68. The compound of claim 67, wherein Z^1 is aryl, and Z^2 is heterocyclyl.

69. The compound of claim 68, wherein Z^1 is optionally substituted phenyl and Z^2 is optionally substituted pyrrolidinyl.

70. The compound of claim 69, wherein Z^1 is phenyl optionally substituted with Cy, -CO-R^d, halogen, oxo, aryl-substituted alkenyl.

71. The compound of claim 66, wherein R^1 is



R^{14} is Cy, Cy-C_{1-10} alkyl, Cy-C_{2-10} alkenyl, or Cy-C_{2-10} alkynyl,

R^{15} is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl,
6) aryl-C_{1-10} alkyl,
7) heteroaryl, or
8) heteroaryl-C_{1-10} alkyl,

each of R^{16}, R^{17}, and R^{18}, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{2-10} alkenyl,
8) Cy-C_{2-10} alkynyl, or
9) a group selected from R^a

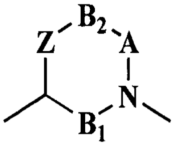
wherein Cy is optionally substituted with one to four substituents independently selected from R^b or one of the following groups:

1) $-NR^cC(O)NR^cSO_2R^d$,
2) $-NR^cS(O)_mR^d$,

3) -OS(O)$_2$OR^c, or

4) -OP(O)(OR^c)$_2$;

two of R^{16}, R^{17}, and R^{18}, when attached to a common ring atom, together with the common ring atom form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O, or S; or two of R^{16}, R^{17}, and R^{18}, when attached to two adjacent ring atoms, together with these two ring atoms form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O, or S;

the ring  represents a 3-7 membered saturated or unsaturated heterocyclyl or heteroaryl wherein

each of Z, A, B$_1$ and B$_2$, independently, is

1) a bond,

2) -C-,

3) -C-C-,

4) -C=C-,

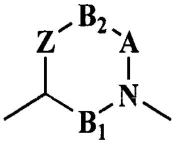
5) a heteroatom selected from the group consisting of N, O, and S, or

6) -S(O)$_m$-;

m having been defined in claim 1;

Y^7 is -S(O)$_2$-,

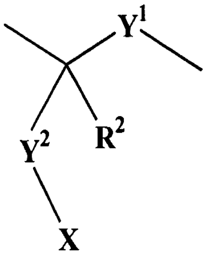
wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, and each said Cy is optionally substituted with one to four substituents independently selected from R^b.

72. The compound of claim 71, wherein the ring  represents pyrrolidine.

73. The compound of claim 71, wherein each of R^{16}, R^{17}, and R^{18}, independently, is selected from the group consisting of H, C_{1-10} alkyl, Cy, $-OR^c$, -halogen, $-S(O)_mR^c$, $-NR^cR^d$, $-NR^cC(O)R^d$, $-NR^cC(O)OR^d$, $-NR^cC(O)NR^dR^e$, and oxo; each of R^c, R^d, R^e.

74. The compound of claim 66, wherein L' is terminally attached to $-SO_2-$.

75. The compound of claim 66, wherein L' is



in which

Y^1 is $-NR^c-C(O)-$;

R^2 is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{1-10} alkenyl, or
8) Cy-C_{1-10} alkynyl;

Y^2 is a bond;

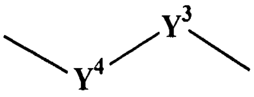
X is $-C(O)OR^c$;

wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, each of said aryl and heteroaryl is optionally substituted with one to four substituents independently selected from R^b; and Cy is a cycloalkyl, heterocyclyl, aryl, or heteroaryl.

76. The compound of claim 75, wherein X is $-C(O)OR^c$ where R^c is H or C_{1-5} alkyl.

77. The compound of claim 75, wherein R^2 is H or C_{1-5} alkyl; and each R^c is independently H or C_{1-5} alkyl.

78. The compound of claim 66, wherein L is



in which

Y^3 is C_{1-4} alkyl, C_{2-4} alkenyl, or C_{2-4} alkynyl; and

Y^4 is -C(O)-NR^c-,

wherein each of alkyl, alkenyl, and alkynyl is optionally containing one to four heteroatoms selected from N, O, S, and -$S(O)_m$-, and each of alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a.

79. The compound of claim 78, wherein Y^3 is C_{1-4} alkyl and R^c is H or C_{1-5} alkyl.

80. The compound of claim 78, wherein R^1 is Z^1-L^a-Z^2-,

in which

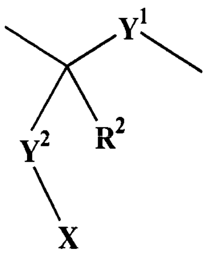
Z^1 is aryl optionally substituted with Cy, -CO-R^d, halogen, oxo, or aryl-substituted alkenyl;

L^a is -SO_2-; and

Z^2 is heterocyclyl.

81. The compound of claim 80, wherein Z^1 is phenyl; L^a is -SO_2-; and Z^2 is pyrrolidine.

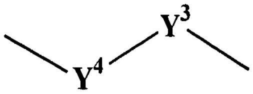
82. The compound of claim 81, wherein L' is



in which

Y^1 is -NR^c-C(O)-; R^2 is H or $C_{1\text{-}5}$ alkyl; Y^2 is a bond and X is -C(O)OR^c; where R^c is H or $C_{1\text{-}5}$ alkyl.

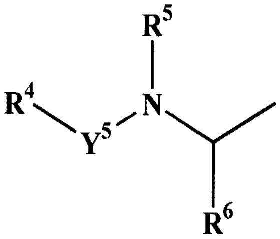
83. The compound of claim 82, wherein L is



wherein Y^3 is $C_{1\text{-}4}$ alkyl, or $C_{1\text{-}4}$ alkenyl; and Y^4 is -C(O)-NR^c- where R^c is H or $C_{1\text{-}5}$ alkyl.

84. The compound of claim 82, wherein Y^3 is $C_{1\text{-}4}$ alkyl; and Y^4 is -C(O)-NH-.

85. The compound of claim 82, wherein R^3 is a moiety of the formula:



in which R^4 is optionally substituted aralkyl.

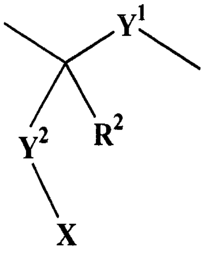
86. A compound of the formula:

$$R^3—L—L'—R^1$$

wherein

R^1 is Cy,

L' is



in which

Y^1 is

1) -C(O)-,
2) -O-C(O)-,
3) -C(O)-O-,
4) -C(O)-NR^c-,
5) -NR^c-C(O)-,
6) -NR^c-C(O)-NR^d-,
7) -NR^c-C(O)-O-,
8) -O-C(O)-NR^c-,
9) -S(O)$_m$-,
10) -S(O)$_2$-NR^c-,
11) -NR^c-S(O)$_2$-,
12) -NR^c-C(NR^m)-,
13) -O-, or
14) -NR^c-;

R^2 is

1) H,
2) C$_{1-10}$ alkyl,
3) C$_{2-10}$ alkenyl,
4) C$_{2-10}$ alkynyl,
5) Cy,
6) Cy-C$_{1-10}$ alkyl,
7) Cy-C$_{1-10}$ alkenyl, or
8) Cy-C$_{1-10}$ alkynyl;

Y^2 is a bond or -C(R^h)(R^i)-; wherein each of R^h and R^i is independently selected from the group consisting of:

1) H,
2) C$_{1-10}$ alkyl,
3) C$_{2-10}$ alkenyl,
4) C$_{2-10}$ alkynyl,
5) aryl,
6) aryl-C$_{1-10}$ alkyl,

7) heteroaryl, and

8) heteroaryl-C_{1-10} alkyl,

R^h and R^i taken together with the carbon to which they are attached may optionally form a 3-7 membered ring containing 0-2 heteroatoms selected from N, O and S;

X is

1) -C(O)OR^c,

2) -P(O)(OR^c)(OR^d),

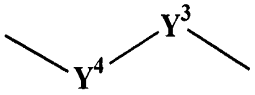
3) -P(O)(R^c)(OR^d),

4) -S(O)$_m$OR^c,

5) -C(O)NR^{c}R^j, or

6) -5-tetrazolyl;

L is



in which

Y^3 is

1) a bond,

2) C_{1-10} alkyl,

3) C_{2-10} alkenyl,

4) C_{2-10} alkynyl,

5) aryl,

6) aryl-C_{1-10} alkyl,

7) heteroaryl, or

8) heteroaryl-C_{1-10} alkyl; and

Y^4 is

1) a bond,

2) -C(O)-,

3) -O-C(O)-,

4) -C(O)-O-,

5) -C(O)-NR^c-,

6) -NR^c-C(O)-,

7) -NR^c-C(O)-NR^d-,

8) -NR^c-C(O)-O-,

9) -O-C(O)-NR^c-,

10) -S(O)$_m$-,

11) -S(O)$_2$-NR^c-,

12) -NR^c-S(O)$_2$-,

13) -NR^c-C(NR^d)-,

14) -O-, or

15) -NR^c-;

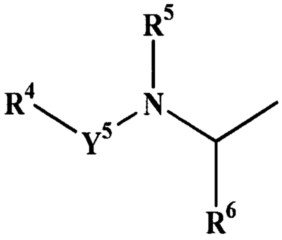
R^3 is Z^3-L^b-Z^4-, in which

Z^3 is Cy, Cy-C$_{1-10}$ alkyl, Cy-C$_{1-10}$ alkenyl, or Cy-C$_{1-10}$ alkynyl;

L^b is -C(O)-, -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -NR^c-C(O)-NR^d-, -NR^c-C(O)-O-, -O-C(O)-NR^c-, -S(O)$_m$-, -SO$_2$-NR^c-, -NR^c-SO$_2$-, -O-, -NR^c-, or a bond; and

Z^4 is cycloalkyl, cycloalkyl-C$_{1-10}$ alkyl, cycloalkenyl, cycloalkenyl-C$_{1-10}$ alkyl, aryl, aryl-C$_{1-10}$ alkyl, heterocyclyl, heterocyclyl-C$_{1-10}$ alkyl, heteroaryl, heteroaryl-C$_{1-10}$ alkyl or a bond; or

R^3 is a moiety of the following formula:



wherein:

Y^5 is selected from the group consisting of -CO-, -O-CO-, -SO$_2$- and -PO$_2$-;

each of **R^4** and **R^6**, independently, is alkyl, alkenyl, alkynyl, cycloalkyl, aryl-fused cycloalkyl, cycloalkenyl, aryl, aralkyl, aryl-substituted alkenyl or alkynyl, cycloalkyl-substituted alkyl, cycloalkenyl-substituted cycloalkyl, biaryl, alkenoxy, alkynoxy, aralkoxy, aryl-substituted alkenoxy or alkynoxy, alkylamino, alkenylamino or alkynylamino, aryl-substituted alkylamino, aryl-substituted alkenylamino or alkynylamino, aryloxy, arylamino, heterocyclyl, heterocyclyl-substituted alkyl, heterocyclyl-substituted amino, carboxyalkyl substituted aralkyl, oxocarbocyclyl-fused aryl, or an amino acid side chain selected from the group consisting of arginine, asparagine, glutamine, S-methyl cysteine, methionine and corresponding sulfoxide and sulfone derivatives thereof, cyclohexylalanine, leucine, isoleucine, allo-isoleucine, tert-leucine, norleucine, phenylalanine, phenylglycine, tyrosine, tryptophan, proline, alanine, ornithine, histidine, glutamine, norvaline, valine, threonine, serine, beta-cyanoalanine, 2-aminobutyric acid and allothreonine; and

R^5 is hydrogen, aryl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, or aryl-substituted alkyl, R^5 and R^6 may be taken together with the atoms to which they are attached to form a heterocycle of 5 to 7 members;

R^a is

1) Cy,
2) $-OR^c$,
3) $-NO_2$,
4) -halogen,
5) $-S(O)_mR^c$,
6) $-SR^c$,
7) $-S(O)_2OR^c$,
8) $-S(O)_2NR^cR^d$,
9) $-NR^cR^d$,
10) $-O(CR^eR^f)_nNR^cR^d$,
11) $-C(O)R^d$,
12) $-CO_2R^c$,
13) $-P(O)(OR^c)(OR^d)$,
14) $-P(O)(R^c)(OR^d)$,
15) $-S(O)_mOR^c$,
16) $-C(O)NR^cR^j$,
17) $-CO_2(CR^eR^f)_nCONR^cR^d$,
18) $-OC(O)R^c$,
19) -CN,
20) $-NR^cC(O)R^d$,
21) $-OC(O)NR^cR^d$,
22) $-NR^cC(O)OR^d$,

23) $-NR^cC(O)NR^dR^e$,
24) $-CR^c(NOR^d)$,
25) $-CF_3$,
26) $-OCF_3$, or
27) oxo

wherein Cy is optionally substituted with one to four substituents independently selected from R^b,

R^b is

1) a group selected from R^a,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl-C_{1-10} alkyl, or
6) heteroaryl-C_{1-10} alkyl,

wherein each of alkyl, alkenyl, alkynyl, aryl, and heteroaryl is optionally substituted with a group independently selected from R^g;

each of R^c, R^d, R^e, and R^f, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy, or
6) Cy-C_{1-10} alkyl;

wherein each of alkyl, alkenyl, alkynyl and Cy is optionally substituted with one to four substituents independently selected from R^g;

R^g is

1) halogen,
2) amino,
3) carboxy,
4) $-COO-C_{1-4}$ alkyl,
5) $-P(O)(OH)_2$,

6) -P(O)(OH)(O-C_{1-4} alkyl),
7) -P(O)(C_{1-4} alkyl)$_2$,
8) -P(O)(OH)(C_{1-4} alkyl),
9) -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl),
10) -SO_2-C_{1-4} alkyl,
11) -CO-NH_2,
12) -CO-NH(C_{1-4} alkyl),
13) -CO-N(C_{1-4} alkyl)$_2$,
14) C_{1-4} alkyl,
15) C_{1-4} alkoxy,
16) aryl,
17) aryl-C_{1-4} alkoxy,
18) hydroxy,
19) CF_3, or
20) aryloxy;

R^m is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl;
7) C_{1-10} acyl,
8) cyano,
9) C_{1-10} alkyl-sulfonyl, or
10) C_{1-10} alkoxy; and

R^j is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,

5) cyano,
6) aryl,
7) aryl-C_{1-10} alkyl,
8) heteroaryl,
9) heteroaryl-C_{1-10} alkyl, or
10) -SO_2R^k,

where R^k is C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, and aryl;

R^c and R^d taken together with the atoms to which they are attached optionally form a heterocyclic ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, N and S;

R^e and R^f taken together with the atoms to which they are attached optionally form a ring of 5 to 7 members, said ring containing 0-2 additional heteroatoms independently selected from O, S and N;

m is 0, 1, or 2;

n is an integer from 1 to 10;

provided that when L is saturated and has 1-4 carbon chain atoms,

(i) L must contain a heteroatom selected from O, S, and N; or
(ii) R^3 must contain the moiety o-methylphenyl-ureido-phenyl-CH_2-; or
(iii) R^1 must contain only one Cy group;
(iv) when L is terminally attached to R^3 by -C(=O)NH-, R^1 is not optionally substituted pyrrolidinyl substituted with a -SO_2-optionally substituted phenyl group; and

provided that when Y^2 is not a bond, X is -COOH, -COO-C_{1-4} alkyl, -P(O)$(OH)_2$, -P(O)(OH)(O-C_{1-4} alkyl), -P(O)$(C_{1-4}$ alkyl$)_2$, -P(O)(OH)(C_{1-4} alkyl), -P(O)(O-C_{1-4} alkyl)(C_{1-4} alkyl), -SO_2-C_{1-4} alkyl, -CO-NH_2, -CO-NH(C_{1-4} alkyl), -CO-N$(C_{1-4}$ alkyl$)_2$, or -5-tetrazolyl or a pharmaceutically acceptable salt thereof.

87. The compound of claim 86, wherein R^1 is Z^1-L^a-Z^2-, in which

Z^1 is aryl, aryl-C_{1-5} alkyl, heterocyclyl, heterocyclyl-C_{1-5} alkyl, heteroaryl, or heteroaryl-C_{1-5} alkyl;

L^a is -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, -SO_2-, or a bond; and

Z^2 is heterocyclyl, heterocyclyl-C_{1-5} alkyl, or a bond.

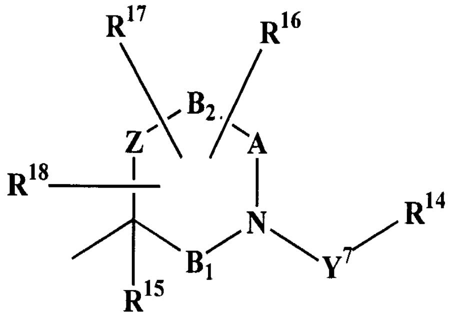
88. The compound of claim 86, wherein

Z^1 is phenyl optionally substituted with Cy, -CO-R^d, halogen, oxo, aryl-substituted alkenyl;

L^a is -O-C(O)-, -C(O)-O-, -C(O)-NR^c-, -NR^c-C(O)-, or -SO_2-; and

Z^2 is heterocyclyl or a bond.

89. The compound of claim 86, wherein R^1 is



R^{14} is

1) C_{1-10} alkyl,
2) C_{2-10} alkenyl,
3) C_{2-10} alkynyl,
4) Cy,
5) Cy-C_{1-10} alkyl,
6) Cy-C_{2-10} alkenyl, or
7) Cy-C_{2-10} alkynyl,

R^{15} is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) aryl,
6) aryl-C_{1-10} alkyl,
7) heteroaryl, or
8) heteroaryl-C_{1-10} alkyl,

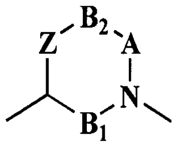
each of **R^{16}**, **R^{17}**, and **R^{18}**, independently, is

1) H,
2) C_{1-10} alkyl,
3) C_{2-10} alkenyl,
4) C_{2-10} alkynyl,
5) Cy,
6) Cy-C_{1-10} alkyl,
7) Cy-C_{2-10} alkenyl,
8) Cy-C_{2-10} alkynyl, or
9) a group selected from R^a

wherein Cy is optionally substituted with one to four substituents independently selected from R^b or one of the following groups:

1) $-NR^cC(O)NR^cSO_2R^d$,
2) $-NR^cS(O)_mR^d$,
3) $-OS(O)_2OR^c$, or
4) $-OP(O)(OR^c)_2$;

two of R^{16}, R^{17}, and R^{18}, when attached to a common ring atom, together with the common ring atom form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O, or S; or two of R^{16}, R^{17}, and R^{18}, when attached to two adjacent ring atoms, together with these two ring atoms form a 5-7 membered saturated or unsaturated monocyclic ring containing zero to three heteroatoms selected from N, O, or S;

the ring  represents a 3-7 membered saturated or unsaturated heterocyclyl or heteroaryl wherein

each of Z, A, B_1 and B_2, independently, is

1) a bond,
2) -C-,
3) -C-C-,
4) -C=C-,
5) a heteroatom selected from the group consisting of N, O, and S, or

6) $-S(O)_m-$;

m having been defined in claim 1;

Y^7 is

1) -C(O)-,

2) -C(O)O-,

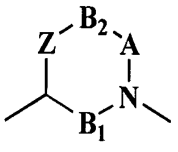
3) $-C(O)NR^c-$,

4) $-S(O)_2-$,

5) $-P(O)(OR^c)$, or

6) -C(O)-C(O)-;

wherein each of said alkyl, alkenyl and alkynyl is optionally substituted with one to four substituents independently selected from R^a, and each said Cy is optionally substituted with one to four substituents independently selected from R^b.

90. The compound of claim 87, wherein the ring  represents azetidine, pyrrole, pyrrolidine, imidazole, pyrazole, triazole, pyridine, piperidine, pyrazine, piperazine, pyrimidine, oxazole, thiazole, or morpholine.

91. The compound of claim 87, wherein Y^1 is $-NR^c-C(O)-$, $-NR^c-$, $-NR^c-S(O)_2-$, or $-NR^c-C(NR^m)-$.

92. The compound of claim 87, wherein Y^1 is $-NR^c-C(O)-$.

93. The compound of claim 87, wherein X is $-C(O)OR^c$ or $-C(O)NR^cR^j$.

94. The compound of claim 87, wherein X is $-C(O)OR^c$ where R^c is H or C_{1-5} alkyl.

95. The compound of claim 87, wherein Y^1 is $-NR^c-C(O)-$; R^2 is H or C_{1-5} alkyl; Y^2 is a bond or $-CH_2-$; and X is $-C(O)OR^c$ where each R^c is independently H or C_{1-5} alkyl.

96. (New) A composition comprising a pharmaceutical carrier and an effective amount of the compound of claim 87.--